

Figure 1A

Figure 1B

Figure 2A

Figure 2B

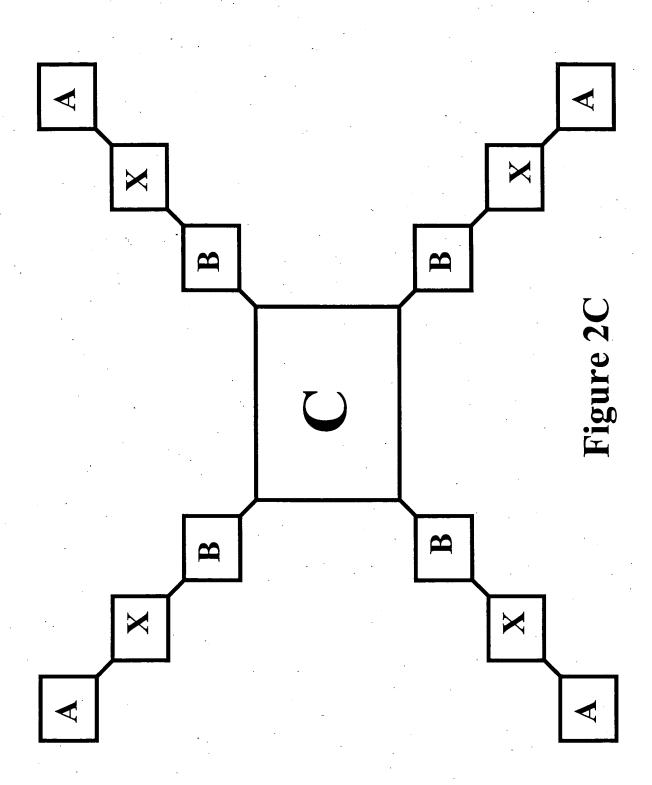
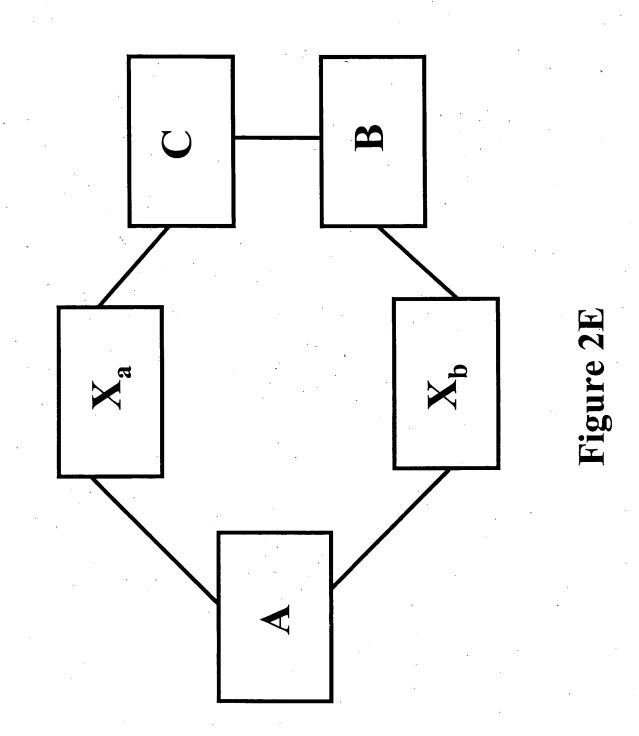


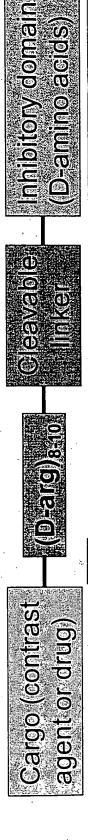
Figure 2D



### M $\blacktriangleleft$

C

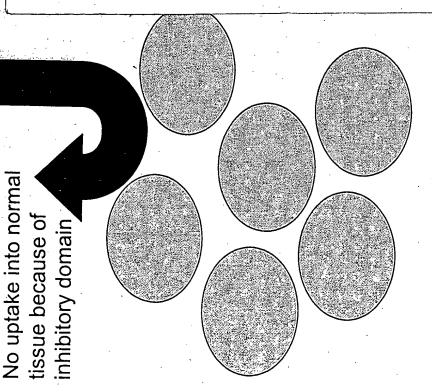
# Towards imaging and killing tumors



# Towards imaging and killing tumors

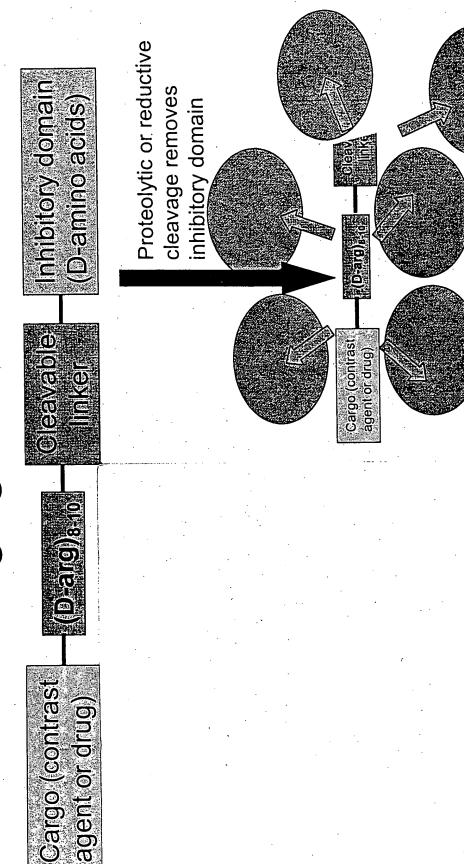


Inhibitory domain D-amino acids)

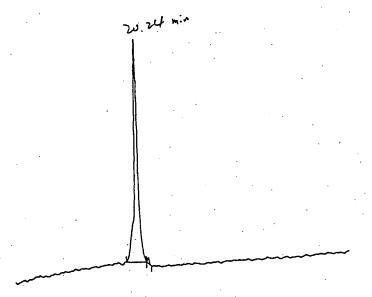


Normal tissue

# Towards imaging and killing tumors

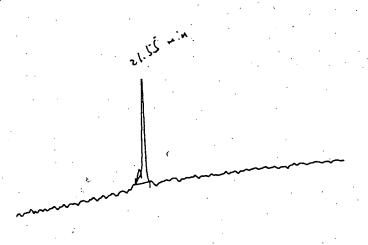


Cancer cells (expressing protease or hypoxic)



<del></del>	<del></del>	<del></del>	<del> </del>
10.0	20.0	30.0	40.C

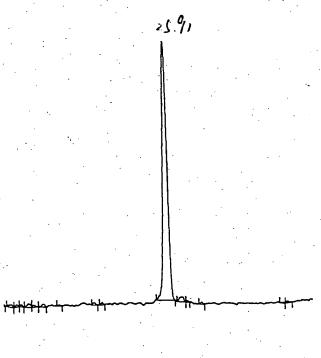
After Enterokinase cleavage:



		<del>, ,</del>	
-1	່ວດ່ວ	3Ò.0	40.0
10.0	20.0	30.0	

6

Before MMP-2 cleavage:



10.0 20.0 30.0 40.0

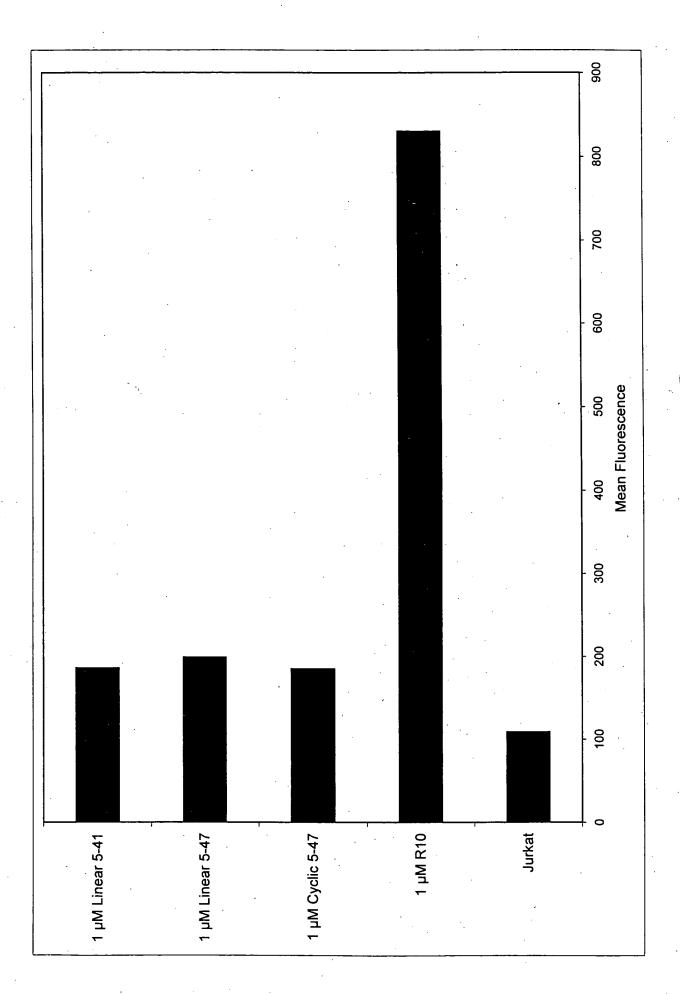
18.88-"

30.0

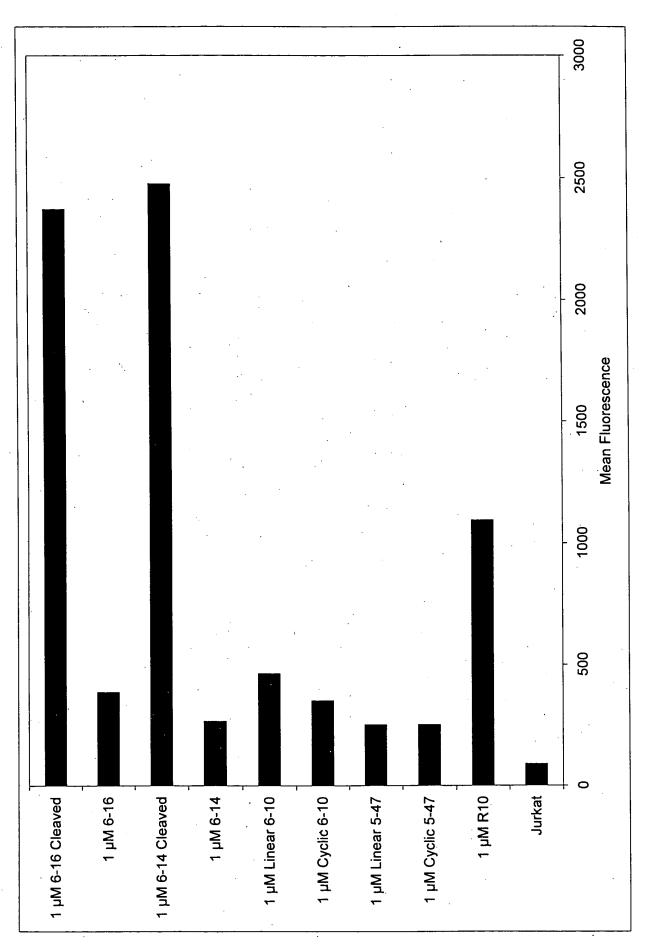
20.0

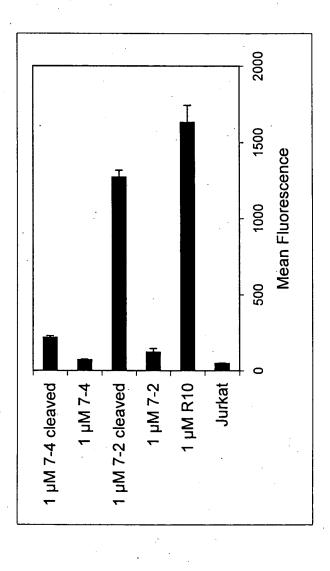
10.0

TR

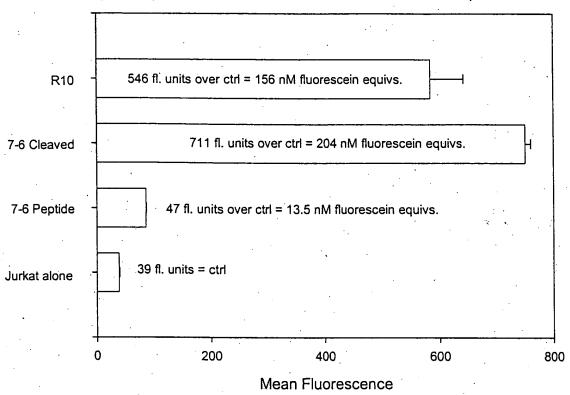


F2 8

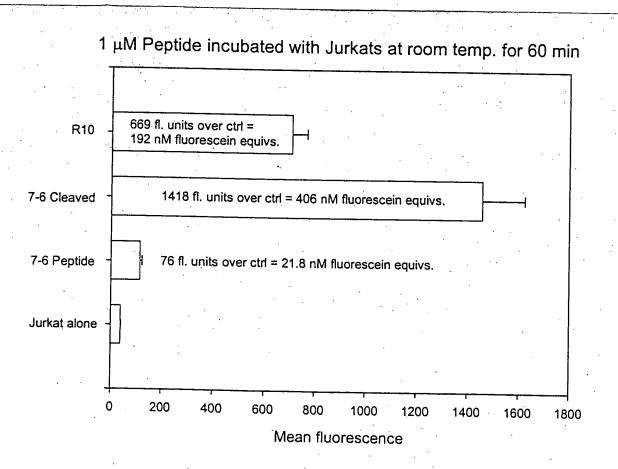




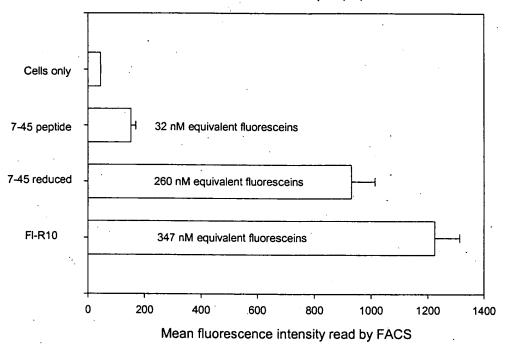
### 1 μM Peptide incubated with Jurkats at room temp. for 10 min







FACS analysis of peptide 7-45 uptake into Jurkats, after 10 min incubation with 1  $\mu$ M peptides



Peptide 7-45 is H<sub>2</sub>N-eeeeeec-CONH<sub>2</sub>

Fl-rrrrrrrc-CONH<sub>2</sub>, where the solid line denotes a disulfide bond

Reduction should yield two separate peptides, of which only FI-rrrrrrrrc-CONH2 is detectable by fluorescence

7-45 SLD 10716

F 13

(a)

(d)

(b)

(e)

(c)

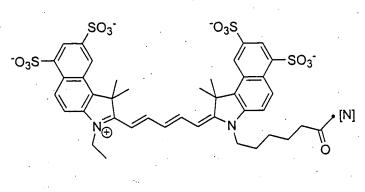
**(f)** 

$$CI \xrightarrow{CI} N \xrightarrow{NH} 0$$

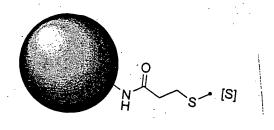
(g)

(h)

(i)



, **(j)** 



(k)

**(1)** 

(n)

(m)

(a) 
$$H_2O_3PO \longrightarrow OPO_3H_2 \\ H_2O_3PO \longrightarrow OPO_3H_2 \\ OPO_3H_2 \\ OPO_3H_2$$

$$R = N + CO_2 H$$

(b)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \hline \end{array}$$

$$\begin{array}{c} S \\ \hline \end{array}$$

$$\begin{array}{c} S \\ \hline \end{array}$$

$$\begin{array}{c} S \\ \hline \end{array}$$

$$\begin{array}{c} O \\ \hline \end{array}$$

$$R = N O OH$$

Figure 15

(i) 
$$H_{2}N - CHC - N - CHC - OH 
 $CH_{2}$   $CH_{3}$   $CH_{4}$   $CH_{4$$$

$$(j) \qquad HO_{2}C \qquad HO_{2}C \qquad HO_{2}C \qquad HO_{2}C \qquad HO_{2}C$$

**(l)** 

(n)

$$HO_2C$$
 $HO_2C$ 
 $HO_2$ 

Action 
$$N + N + N = N$$

$$X = 2 - 20$$

$$A \subset H \longrightarrow HO_2C \longrightarrow HO_2$$

(p)

$$\mathcal{K} = \begin{bmatrix} N \end{bmatrix} \begin{bmatrix} N \end{bmatrix}$$

(q)

Ac-
$$\left[\begin{matrix} & & & & \\ & &$$

(r)

(S) Eq-CONH-CH-CO- [N]
Eq-CONH-(CH2)24

Fig 15-8

Figure 16

(a)
$$R = N NH_{2}$$

$$NH$$

$$R = N NH_{2}$$

$$NH$$

$$R = N NH_{2}$$

$$NH = N NH_{2}$$

$$N$$

Figure 17 —/

GRKKRRQRRRGY-CONH-CH-CONH-CH GRKKRRQRRRGY-CONH-CH-CONH-CH S- [S]

(e) [S] -S-CH2-CONH-IRRRKKLRRLK- [N]

(g)

 $\begin{array}{c} H_{2}N \stackrel{\circ}{\longrightarrow} NH_{2} \\ NH \\ NH \\ NH \\ NH \\ X \end{array}$ 

/7-3

(j)

$$\begin{bmatrix} CO \end{bmatrix} \longrightarrow_{HN} \longrightarrow_{N} \longrightarrow_{X} \longrightarrow_{N} \longrightarrow_$$

(k)

**(l)** 

$$\begin{array}{c} NH_2 \\ NH$$

[[[]

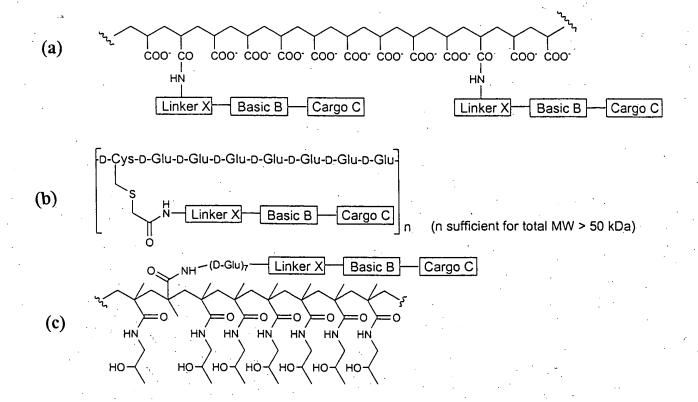


Figure 18